COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 149.75 149.96

FULL ESTIMATED COST

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FILE COVERS 1907 - 29 Jan 2003 VOL 138 ISS 5 FILE LAST UPDATED: 28 Jan 2003 (20030128/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 17 L3

=> s 117 and (ru or ruthenium)

L17 NOT FOUND

The L-number entered could not be found. To see the definition of L-numbers, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s l4 and (ru or ruthenium)

54648 RU

69870 RUTHENIUM

L5 3 L4 AND (RU OR RUTHENIUM)

=> d bib abs 1-3

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2002:778057 CAPLUS

DN 137:294761

TI Chemical bond forming reactions using .alpha.-halocarbonyl compounds and transmetalation reagents.

IN Zhang, Xumu; Lei, Aiwen

PA The Penn State Research Foundation, USA

SO PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002079339 A2 20021010 WO 2002-US9623 20020329

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,

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                                         US 2002-108420 20020329
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PRAI US 2001-280275P
                      Ρ
                            20010330
os
     CASREACT 137:294761
ΑB
     A method of forming a chem. bond comprises combining .gtoreq.1
     .alpha.-halocarbonyl compd. with .gtoreq.1 transmetalation reagent
     comprising a target compd., and forming a chem. bond to or within the
     target compd. The transmetalation reagents are formed by the addn. of a
     metal or metal catalyst to a target compd. The target compd. is the
     compd. undergoing chem. bond formation. Bond formation can be carried out
     in both intermol. or intramol. reactions. Thus, reaction of
     3,5-dimethylphenylboronic acid in the presence of Pd2(dba)3.CHCl3,
     rac-BINAP, and KF in dioxane gave 97% 3,3',5,5'-tetramethylbiphenyl.
L5
     ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
AN
     1999:753145 CAPLUS
DN
     132:13300
     Catalytic asymmetric hydrogenation and hydroformylation via transition
TТ
     metal complex catalysts with chiral phosphine or phosphite ligands
IN
     Zhang, Xumu
     The Penn State Research Foundation, USA
PA
SO
     PCT Int. Appl., 111 pp.
     CODEN: PIXXD2
DT
     Patent
    English
LA
FAN.CNT 3
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                     ----
                           -----
                                          -----
                                      WO 1999-US10907 19990518
     WO 9959721
PT
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            JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, DE, SG, SI, SK, SL, TJ,
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     WO 1999-US10907
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                           20000313
OS
    MARPAT 132:13300
     Transition metal catalysts with conformationally rigid chiral phosphines
     and phosphites are developed for asym. C-H and C-C bond formation. Chiral
     amines, .beta.-amino acids, and related compds. are synthesized via
     catalytic asym. hydrogenation based on chiral monodentate and bidentate
     phosphines with cyclic ring structures.
RE.CNT 7
             THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
```

ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS L5 1999:120265 CAPLUS ANDN 130:281548 ΤI Ru-BICP-Catalyzed asymmetric hydrogenation of aromatic ketones Cao, Ping; Zhang, Xumu ΑU CS Department of Chemistry, The Pennsylvania State University, University Park, PA, 16802, USA Journal of Organic Chemistry (1999), 64(6), 2127-2129 SO CODEN: JOCEAH; ISSN: 0022-3263 American Chemical Society PB Journal DT English LA os CASREACT 130:281548 GI

=> s 14 not 15

ARu-BICP [BICP = R,R-bis(diphenylphosphino)bicyclopentyl I] catalyst system was prepd. and was effective in the asym. hydrogenation of arom. ketones ArCOMe (Ar = Ph, 2-naphthyl, 2-thienyl, etc.). Thus, hydrogenation of 4-FC6H4COMe in Me2CHOH contg. RuCl2[(R,R)-BICP](TMEDA) [TMEDA = tetramethylethylenediamine], (R,R)-1,2-diphenylethylenediamine, and KOH gave (S)-4-FC6H4CHMeOH with 100% conversion and 74% enantiomeric excess.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

2 L6 AND DIAMIN?

Ι

=> d bib abs 17 1-5

L9

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS
AN 1999:429228 CAPLUS
DN 131:170395
TI Stereoselective preparation of phosphine oxides via a 2,3-sigmatropic shift of allylic diphenylphosphinites
AU Demay, Stephane; Harms, Klaus; Knochel, Paul

```
Fachbereich Chemie der Ludwig Maximilians-Universitat, Munchen, D-81377,
CS
     Germany
     Tetrahedron Letters (1999), 40(27), 4981-4984
so
     CODEN: TELEAY; ISSN: 0040-4039
PB
     Elsevier Science Ltd.
DT
     Journal
LΑ
     English
os
     CASREACT 131:170395
GI
      PPh<sub>2</sub>
   Ι
                          ΙI
     The thermic rearrangement of various chiral or racemic allylic
AB
     diphenylphosphinites, e.g. I (n = 0, 1; R = H, Me) to allylic phosphine
     oxides II has been applied for the prepn. of several chiral
     diphosphine oxides of interest for asym. catalysis.
              THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
L7
    ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS
AN
     1999:325945 CAPLUS
DN
     130:338252
ΤI
     Catalysts for asymmetric syntheses containing rigid chiral ligands
IN
     Zhang, Xumu
PA
     The Pennsylvania State University, USA
SO
     PCT Int. Appl., 42 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
    English
FAN.CNT 3
     PATENT NO.
                     KIND DATE
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                            19990531
                                           AU 1999-13982
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EP 1030854

IE, FI

A2

20000830

EP 1998-957814

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

19981112

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OS
     CASREACT 130:338252
GI
```

Ι

PΙ

WO 9747633

A1

19971218

This invention is to develop novel transition metal catalysts for the practical synthesis of important chiral mols. The invention emphasizes asym. catalysis based on chiral bidentate phosphine ligands with cyclic ring structures which could be used to restrict conformational flexibility of the ligands and thus the efficiency of chiral transfer can be enhanced through the ligand rigidity. Thus, reductive coupling of cyclopentanone with Al powder in the presence of HgCl2 catalyst in C6H6 gave 1,1'-dihydroxy-1,1'-dicyclopentyl which on dehydration with POCl3 in pyridine gave 1,1'-dicyclopentyl. Asym. redn. of 1,1'-dicyclopentyl followed by mesylation, phosphination, and sequential deborylation gave title compd., e.g. I. [Rh(COD)2]BF4-I catalyzed asym. hydrogenation of .alpha.-acetamidocinnamic acid gave hydrogenated product up to 96.1% enantiomeric excess depending upon the solvent used.

```
ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS
L7
AN
     1998:13970 CAPLUS
DN
     128:102242
     Asymmetric synthesis catalyzed by transition metal complexes with cyclic
ΤI
     chiral ligands
IN
     Zhang, Xumu
PA
     Penn State Research Foundation, USA
SO
     PCT Int. Appl., 71 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 3
     PATENT NO.
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                            DATE
                                            APPLICATION NO.
                                                             DATE
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WO 1997-US10436 19970613

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                             19970613
     WO 1997-US10436
os
     CASREACT 128:102242
GI
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The present invention relates to rigid chiral ligands useful in making catalysts for asym. synthesis. More particularly, the present invention relates to new monodentate and bidentate cyclic chiral phosphine ligands which are formed into catalysts to provide high selectivity of the enantiomeric structure of the end-product. Thus, asym. hydroboration of 1,1'-dicyclopentene with (+)-monoisopinocamphenylborane [(+)-IpcBH2] followed by oxidn. with H2O2 gave the diol which was converted to chiral diphosphine ligand I. [Rh(COD)2]BF4-catalyzed asym. hydrogenation of .alpha.-acetamidocinnamic acid in the presence of ligand I gave satd. acid II in 96.8% enantiomeric excess.

- L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS
- AN 1997:148799 CAPLUS
- DN 126:211870

Ι

- TI Highly Enantioselective Rh-Catalyzed Hydrogenations with a New Chiral 1,4-Diphosphine Containing a Cyclic Backbone
- AU Zhu, Guoxin; Cao, Ping; Jiang, Qiongzhong; Zhang, Xumu

II

- CS Department of Chemistry, Pennsylvania State University, University Park, PA, 16802, USA
- SO Journal of the American Chemical Society (1997), 119(7), 1799-1800 CODEN: JACSAT; ISSN: 0002-7863
- PB American Chemical Society
- DT Journal
- LA English

GI

AB The new bisphosphine I, having all 4 chiral centers R, was prepd. and found to be an excellent ligand for Rh(I)-catalyzed asym. hydrogenation of .alpha.-(acylamino)acrylic acids. The high enantioselectivity achieved with I may stem from its conformational rigidity.

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS

Ι

- AN 1977:11412 CAPLUS
- DN 86:11412
- TI Ditertiary (phosphines and arsines) with perfluoro(bi-1-cycloalken-1-yl) bridging groups. Preparation and properties including a solid state structure of a tetracarbonylmolybdenum derivative
- AU Cullen, William R.; Wu, Anthony W.; Davis, Alan R.; Einstein, Frederick W. B.; Hazlett, John D.
- CS Chem. Dep., Univ. British Columbia, Vancouver, BC, Can.
- SO Canadian Journal of Chemistry (1976), 54(18), 2871-8 CODEN: CJCHAG; ISSN: 0008-4042
- DT Journal
- LA English
- GI

The perfluorobi-1-cycloalken-1-yl dichlorides react with arsines and AB phosphines, R2EH, to yield I (n = 2, R2E = (Me)2As, II; n = 2, R2E =(Ph) 2P, III; n = 3, R2E = (Me) 2As, IV; and V, where R = PPh2). Methyl diphenylphosphinate affords V, where R = P(O)Ph2. The ditertiary phosphine III is photochromic in the solid state. It reacts with M(CO)6 (M = Cr, Mo, W) to give (L-L)M(CO)4. Similar compds. are obtained from the ditertiary arsines II and IV. The solid state structure of the Mo(CO)4 deriv. of IV was detd. from 3-dimensional single-crystal data. The compd. crystallizes in the orthorhombic space group Pbcn with a 16.26(1), b 11.55(1), c 13.34(1) .ANG., and there are 4 mols. in the unit cell. The coordinates of the heavy atoms were detd. by vector space methods. All other at. parameters were obtained by full matrix least-squares refinement to a final R factor of 10.1% for 715 reflections. The ligand is chelated to the Mo atom and the resulting 7-membered ring is considerably puckered. The As-Mo-As angle is 89.6(0.2).degree..

=> d bib abs 19 1-2

- L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
- AN 2002:803342 CAPLUS
- TI Highly Enantioselective Ag(I)-Catalyzed [3 + 2] Cycloaddition of Azomethine Ylides
- AU Longmire, James M.; Wang, Bin; Zhang, Xumu

CS Department of Chemistry, Pennsylvania State University, University Park, PA, 16802, USA

SO Journal of the American Chemical Society (2002), 124(45), 13400-13401 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

GΙ

AB A highly reactive Ag(I)-catalyzed [3 + 2] cycloaddn. of azomethine ylides is founded using AgOAc as the catalytic precursor and phosphines as ligands. Using a new bis-ferrocenyl amide phosphine (FAP) as the ligand, the authors found that high enantioselectivities (up to 97% ee) have been achieved in the [3 + 2] cycloaddn. of azomethine ylides, generated from imines RCH:NCH2CO2Me (R = Ph, 4-MeOC6H4, Me2CH, etc.), with dipolarophiles, e.g. di-Me maleate, Me acrylate, and N-methylmaleimide, giving pyrrolidines I (R = Ph, 1-naphthyl, cyclohexyl, etc.). Up to four stereogenic centers can be established in this multicomponent coupling reaction from readily available materials such as aldehydes, aminoesters, and dipolarophiles.

RE.CNT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
```

AN 2001:597876 CAPLUS

DN 135:180880

TI Chiral ferrocene phosphines and their use in asymmetric catalytic reactions

IN Zhang, Xumu

PA The Penn State Research Foundation, USA

SO PCT Int. Appl., 107 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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APPLICATION NO. DATE
     PATENT NO.
                         KIND DATE
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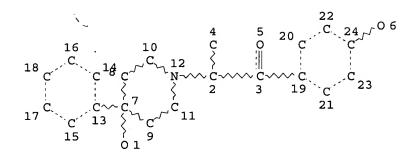
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     US 2002091280
                          A1
                                 20020711
                                                  US 2001-781083
                                                                     20010209
     EP 1257360
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                                 20021120
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                                                                       20010209
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               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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PRAI US 2000-181448P P 20000210 US 2000-214167P P 20000626 WO 2001-US4442 W 20010209

OS CASREACT 135:180880; MARPAT 135:180880

AB Metal complexes with ferrocene anchored chiral ligands are useful in asym. catalysis, such as hydrogenation and allylic alkylation. Thus, (S,S,S,S)ferrocene amide phosphine was prepd. from (1S,2S)-diaminocyclohexane and chiral carboxyferrocenyl di-Ph phosphine and used in combination with (.eta.3-allyl)PdCl2 to catalysis allylic alkylation between 2-cyclohexenyl acetate and di-Me malonate to give [(1R)-2-cyclohexen-1-yl]propanedioic acid di-Me ester in 61% and 20% ee (R).

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT



ENTER (DIS), GRA, NOD, BON OR ?:end L1 STRUCTURE CREATED

=> s 11

SAMPLE SEARCH INITIATED 11:46:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 23 TO ITERATE

100.0% PROCESSED 23 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 173 TO 747
PROJECTED ANSWERS: 5 TO 234

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FULL SEARCH INITIATED 11:46:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 274 TO ITERATE

100.0% PROCESSED 274 ITERATIONS

35 ANSWERS

SEARCH TIME: 00.00.01

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L3 35 ANSWERS REGISTRY COPYRIGHT 2003 ACS

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    ANSWER 9 OF 16 CAPLUS COPYRIGHT 2003 ACS
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    1997:377705 CAPLUS
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     126:343494
DN
     Treatment of tinnitus using (hydroxyphenyl)piperidinylpropanols and
ΤI
     analogs as neuroprotective agents
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     Sands, Stephen B.
PΑ
    Pfizer Inc., USA
    Eur. Pat. Appl., 16 pp.
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LΑ
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                  KIND DATE
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    EP 768086
                           19970416
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PΙ
                      A1
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                           20020925
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        R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    TW 450807
                                          TW 1996-85107025 19960611
                    В
                           20010821
    AT 224714
                      Ε
                           20021015
                                          AT 1996-306198
                                                         19960827
    JP 3038155
                      B2
                           20000508
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                                                           19960912
    CA 2185512
                      AA
                           19970316
                                          CA 1996-2185512 19960913
    AU 9665635
                      A1
                           19970320
                                          AU 1996-65635
                                                           19960913
    AU 697679
                      B2
                          19981015
    CN 1149454
                           19970514
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                      Α
                                                           19960913
PRAI US 1995-3855P
                      Ρ
                           19950915
OS
    MARPAT 126:343494
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=> s 13

GI

$$R^{4}$$
 R^{6}
 R^{1}
 R^{1}
 R^{1}
 R^{1}
 R^{1}
 R^{2}
 R^{1}
 R^{1}
 R^{2}
 R^{2}

$$Q^2 = OH$$

$$R^8 \qquad Q^3 = M$$

$$XR$$

AB Title compds. I [R1-R4 = H, alkyl, halo, CF3, OH, OR7; R5 = Me, Et; or R2R5 = OCH2 and R1, R3, R4 = H, alkyl, halo, CF3, OH, OR7; R6 = aza(bi)cycloalkyl groups Q1, Q2, or Q3; R7 = Me, Et, Pr, iso-Pr; R8 = Ph (un)substituted by 0-3 of alkyl, halo, CF3; X = O, S, (CH2)n; n = 0-3], and their pharmaceutically acceptable salts, are neuroprotective agents, specifically NMDA antagonists, useful in the treatment of tinnitus (no data). Several compds., notably II, its enantiomer, and their tartrate salts, were prepd. Examples include resolns. of racemates, and a large-scale synthetic prepn.

ΙI

L4 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2003 ACS

AN 1997:262327 CAPLUS

DN 126:238309

TI Preparation of (1S, 2S)-1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol methanesulfonate trihydrate as an NMDA antagonist.

IN Andino, Marta M.; Sinay, Terry G.; Fiese, Eugene F.

PA Pfizer Inc., USA; Andino, Marta M.; Sinay, Terry G.; Fiese, Eugene F.

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.				KI	ND	DATE			A.	PPLI	CATI	N NC	ο.	DATE			
																		
ΡI	WO 9707098				Al 19970227					WO 1996-IB592					19960620			
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		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,
			SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ΜL,	MR,	NE,	SN,	TD,	TG	
	CA	2228	752		AA 19970227 A1 19970312					CA 1996-2228752 19960620								
	ΑU	9659	084							AU 1996-59084						19960620		
	AU 710984 EP 843661			B2 19991007														
				A1 19980527					EP 1996-916266						19960620			
	EP	P 843661			B	1	2002	0327										
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	IE,
			SI,	LV .														

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JP 1996-509083
    JP 10510552
                     T2
                          19981013
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    CN 1198739
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                     Α
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    JP 3099072
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    IL 122649
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    BR 9610766
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                                                         19980511
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PRAI US 1995-2238P
                     P
                     W
    WO 1996-IB592
                          19960620
    Title compd. (I) was prepd. for treatment of degenerative nervous
AB
    disorders (no data). Thus, 4'-benzyloxypropiophenone (prepn. given) was
    stirred with Br in CH2Cl2 to give 77.6% .alpha.-bromo deriv., which was
    refluxed with 4-hydroxy-4-phenylpiperidine and Et3N in Et0Ac to give 77%
    4-hydroxy-4-phenyl-1-[1-(4-benzyloxybenzoyl)ethyl]piperidine. The latter
    was reduced with NaBH4 in EtOH to give 86.5% threo alc. deriv., which was
    hydrogenolyzed (90%), resolved with D-tartaric acid, converted to the free
    base, and salified with MeSO3H in H2O to give I.
L4
    ANSWER 11 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN
    1997:97184 CAPLUS
DN
    126:104016
    Preparation of 1-hydroxyphenyl-2-hydroxypiperidinopropanols and analogs as
ΤI
    NMDA antagonists
    Chenard, Bertrand L.; Menniti, Frank S.
IN
    Pfizer Inc., USA; Chenard, Bertrand, L.; Menniti, Frank, S.
PA
SO
    PCT Int. Appl., 94 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
                    KIND DATE
    PATENT NO.
                                        APPLICATION NO. DATE
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    WO 9637226
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    WO 9637226
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        W: CA, FI, JP, MX, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
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                    AA 19961128 CA 1995-2219911 19950526
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                          19980318
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    JP 11505828
                    T2
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                                        JP 1995-535520
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    RU 2176145
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                          20011127
                                        RU 1996-109832
                                                        19950526
    TW 470740
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                                        TW 1996-85105153 19960430
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    NO 9602130
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                          19961205
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                    A1
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    AU 696258
    CN 1159325
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                                        CN 1996-107556
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                    Α
                                        BR 1996-2485
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                     B6 19980715
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                                        FI 1997-4323
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PRAI HU 1996-1419
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                    Α
    CA 1995-2219911
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    WO 1995-IB398
                     W
                         19950526
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os

GI

MARPAT 126:104016

$$R^{4}$$
 R^{4}
 R^{6}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{6}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{6}
 R^{6}
 R^{7}
 R^{7

AB Title compds. [I; R1-R4 = H, halo, alkyl, alkoxy, etc.; R5 = Me or Et; R2R5 = OCH2; R6 = 4-hydroxy-4-phenylpiperidino, 3-hydroxy-3-phenylpyrrolidino, azabicycloalkyl group Q, etc.; R8 = (un)substituted Ph; Z = bond, O, S, (CH2)1-3] were prepd. as NMDA antagonists (no data). Thus, 3-fluoro-4-triisopropylsilyloxy-.alpha.-bromopropiophenone (prepn. given) was aminated by 4-(4-fluorophenyl)-4-hydroxypiperidine and the product reduced to give, after deprotection, title compd. II.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2003 ACS

AN 1997:70358 CAPLUS

DN 126:157399

TI Method for treating spinal cord trauma with phenolic 2-piperidino-1-alkanols

IN Chenard, Bertrand L.

PA Pfizer Inc., USA

SO U.S., 8 pp., Cont.-in-part of U.S. 5, 455, 250. CODEN: USXXAM

DT Patent

LA English

FAN. CNT 2

T. T.TA	CIVI Z						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
	,						
ΡI	US 5594007	Α	19970114	US 1994-195797	19940214		
	US 5455250	Α	19951003	US 1993-119122	19930916		
	US 5654302	Α	19970805	US 1995-418713	19950407		
	US 5696126	Α	19971209	US 1995-418718	19950407		
PRAI	US 1991-687273		19910418				
	US 1993-119122		19930916				
	WO 1992-US2131		19920324				
~~	MIDDIM 106 15500	_					

OS MARPAT 126:157399

GI For diagram(s), see printed CA Issue.

AB Title compds. I [R = H, C1-6 alkyl, C2-6 alkenyl or alkynyl; X = (substituted) Ph, PhCH2, PhO, C1-3 alkoxy; E completes a substituted piperidino or pyrrolidino ring], useful for blocking N-methyl-D-aspartic acid (NMDA) receptor sitess in a mammal (no data) were prepd. by std. chem. Thus, coupling 4-(morpholinomethyl)benzoic acid with 1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidino)-1-propanone with EDC and DMAP in CH2Cl2 and then redn. with NaBH4 in EtOH gave racemic I [R = Me, X = 4-(morpholinomethyl)phenyl; ester attached at 4-position; 4-hydroxy-4-phenylpiperidino].

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ANSWER 13 OF 16 CAPLUS COPYRIGHT 2003 ACS
· L4
     1996:404653 CAPLUS
ΑN
DN
     125:86500
     Preparation of neuroprotective 3-(piperidinyl-1)-chroman-4,7-diol and
ΤI
     1-(4-hydrophenyl)-2-(piperidinyl-1)-alkanol derivatives
IN
     Chenard, Bertrand L.; Butler, Todd W.
PA
     Pfizer Inc., USA
SO
     PCT Int. Appl., 92 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
                                                             DATE
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                             19960229
                                            WO 1995-IB380
                                                              19950518
PΙ
     WO 9606081
                       A1
         W: AU, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RU, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                            CA 1995-2197451 19950518
     CA 2197451
                       AA
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     AU 9523511
                       A1
                             19960314
                                                              19950518
     AU 684359
                        B2
                             19971211
     EP 777652
                       A1
                             19970611
                                            EP 1995-917443
                                                              19950518
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
                                            CN 1995-194643
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PRAI US 1994-292651
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                             19940818
     WO 1995-IB380
                        W
                             19950518
OS
     MARPAT 125:86500
GI
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The title compds. [I; R1-R4 = H, alkyl, halogen, CF3, OH, etc; R5 = Me, ethyl; R6 = (un)substituted piperidino, (un)substituted pyrrolidino, etc.; R2R5 = OCH2; etc.], useful for treating stroke (no data), spinal cord trauma (no data), traumatic brain injury (no data), multiinfarct dementia (no data), CNS degenerative diseases such as Alzheimer's disease (no data), etc. (no data), are prepd. Thus, 3-fluoro-4-trisopropylsilyloxy-.alpha.-bromopropiophenone was reacted with 4-(4-fluorophenyl)-4-hydroxypiperidine, the intermediate reduced with NaBH4, and the free base salified with MeSO3H, producing, (1R,2R)-1-(3-fluoro-4-hydroxyphenyl)-2-[4-(4-fluorophenyl)-4-hydroxypiperidin-1-yl]propan-1-ol mesylate, m.p. 239-241.degree..

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2003 ACS AN 1995:699265 CAPLUS

Т

DN 123:285708 (1S,2S)-1-(4-Hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidino)-1-propanol: A TΙ Potent New Neuroprotectant Which Blocks N-Methyl-D-Aspartate Responses Chenard, B. L.; Bordner, J.; Butler, T. W.; Chambers, L. K.; Collins, M. ΑU A.; De Costa, D. L.; Ducat, M. F.; Dumont, M. L.; Fox, C. B.; et al. Central Research Division, Pfizer Inc., Groton, CT, 06340, USA CS Journal of Medicinal Chemistry (1995), 38(16), 3138-45 SO CODEN: JMCMAR; ISSN: 0022-2623 PB American Chemical Society DTJournal LA English AB (+)-4-Hydroxy-.alpha.-(4-hydroxyphenyl)-.beta.-methyl-4-phenyl-1piperidinethanol (CP-101,606) was identified as a potent and selective N-methyl-D-aspartate (NMDA) antagonist through a structure activity relation (SAR) program based on ifenprodil, a known antihypertensive agent with NMDA antagonist activity. Sites on the threo-ifenprodil skeleton explored in this report include the pendent Me group (H, Me, and Et nearly equipotent; Pr much weaker), the spacer group connecting the C-4 Ph group to the piperidine ring (an alternating potency pattern with 0 and 2 carbon atoms yielding the greatest potency), and simple Ph substitution (little effect). While potent NMDA antagonists were obtained with a two atom spacer, this arrangement also increased .alpha.1 adrenergic affinity. Introduction of a hydroxyl group into the C-4 position on the piperidine ring resulted in substantial redn. in .alpha.1 adrenergic affinity. The combination of these observations was instrumental in the discovery of CP-101,606 . This compd. potently protects cultured hippocampal neurons from glutamate toxicity (IC50 = 10 nM) while possessing little of the undesired .alpha.1 adrenergic affinity (IC50 .apprx. 20 .mu.M) of ifenprodil. Furthermore, CP-101,606 appears to lack the psychomotor

stimulant effects of nonselective competitive and channel-blocking NMDA antagonists. Thus, CP-101,606 shows great promise as a neuroprotective agent and may lack the side effects of compds. currently in clin. trials.

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L4
    ANSWER 15 OF 16 CAPLUS COPYRIGHT 2003 ACS
ΑN
    1993:495538 CAPLUS
DN
    119:95538
ΤI
    Prodrug esters of phenolic 2-piperidino-1-alkanols
    Chenard, Bertrand L.
IN
PA
    Pfizer Inc., USA
SO
    PCT Int. Appl., 47 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LA
FAN.CNT 2
                    .....
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	PATENT NO.					ND	DATE			A)	PPLI	DATE					
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		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LU,	MC,	NL,	SE	
	CA	A 2108557			AA 19921019					C	57	19920324					
	ΑU	U 9217839			A	1	1992	1117		Αī	J 19	1992-17839			19920324		
	AU	AU 654554			B2 19941110												
	JP	0650	1022		T	2	1994	0127		J	P 19	92-5	0996	1	1992	0324	
	JP	2 07088355			B4 19950927												
	EΡ	584192			A1 19940302				EP 1992-911061					19920324			
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE	
	HU	6583	6		A	2	1994	0728		H	J 19	93-2	928		1992	0324	
	BR	9205	893		Α		1994	1108		Bl	R 19	92-5	893		1992	0324	
	CN 1065866			Α		1992	19921104			N 19	92-1	0284	5	1992	0416		
	ZA 9202811			Α		1993	1018		ZA 1992-2811								
	US	5455	250		Α		1995	1003		US	3 19	93-1	1912	2	1993	0916	
	NO	9303	723		Α		1993	1015		N	19	93-3	723		1993	1015	
PRAI	US	1991	-6872	273			1991	0418									

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WO 1992-US2131 19920324
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- OS MARPAT 119:95538
- GI For diagram(s), see printed CA Issue.
- Title compds. I [E = (CH2)2CY2Y3(CH2)2, (CH2)2CY2Y3CH2, CH2CH2CY9:CHCH2; R = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; X = Ph, CH2Ph, C1-3 alkoxy, OPh, aforementioned group substituted by R1R2N(CH2)p; p = 1, 2; R1,R2 = H, C1-6 alkyl or NR1R2 = pyrrolidinyl, piperidinyl, or morpholinyl ring, aforementioned ring substituted by C1-3 alkyl; Y2Y3 = Q1 or Y2 = OH and Y3 = Q2; Y9 = Q2; n = 0-3; m = 0-4; Q = S, CH:CH; X1 = H, C1-3 alkyl, C1-3 alkoxy, halo] and related compds. are prodrugs useful in the treatment of stroke, traumatic head injury and CNS degenerative disease (no data). Thus, esterification of 4-(morpholinomethyl)benzoic acid by 1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidino)-1-propanone in CH2lCl2 contg. 4-Me2NC5H4N and EtN:C:N(CH2)3NMe2.HCl, followed by NaBH4 redn. of the intermediate ketone gave title compd. II as a mixt. of isomers.

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L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2003 ACS
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AN 1991:408584 CAPLUS

DN 115:8584

TI Preparation of 2-piperidino-1-alkanol derivatives as antiischemic agents

IN Chenard, Bertrand Leo

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 48 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	EP 398578	A2	19901122	EP 1990-304975 19900509
	R: AT, BE,	CH, DE	, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE
	SK 279476	В6	19981104	SK 1990-2328 19890517
	CZ 284342	B6	19981014	CZ 1990-2328 19900511
	US 5185343	Α	19930209	US 1991-784446 19911023
	US 5272160	Α	19931221	US 1992-932844 19920820
	US 5338754	Α	19940816	US 1993-96913 19930723
	US 5391742	Α	19950221	US 1994-228466 19940415
	US 5710168	Α	19980120	US 1994-336639 19941109
	US 5527912	Α	19960618	US 1995-411030 19950327
PRAI	WO 1989-US2176	Α	19890517	
	WO 1990-US292	Α	19900116	
	US 1991-784446	A3	19911023	
	US 1992-932844	A 3	19920820	
	US 1993-96913	A 3	19930723	
	US 1994-228466	A2	19940415	
	US 1994-336639	A3	19941109	
OS GI	MARPAT 115:8584			

The title compds. (I; R = H, alkyl, alkenyl, alkynyl; X = H, OH, aryl; Y = AB H, OH; Y1 = aryl, aralkyl, arylthio, aryloxy, YY1 = arylmethylene, aralkylmethylene; Q = S, CH:CH), useful as antiischemic agents in treating strokes, Alzheimer's disease, Huntington's disease, and Parkinson's disease (no data), are prepd. A mixt. of piperidine deriv. II, p-(Me2CH)3SiOC6H4COCHBrMe, and Et3N in EtOH was refluxed to give 23% propiophenone III, which was reduced with LiAlH4 to give 89% mixt. of (1R*,2S*) - and (1S*,2S*) -I [R = Me, X = 4-(Me2CH)3SiO, YY1 = PhCH, Q =CH:CH] (IV). Hydrolysis of IV with Bu4N+ F- in THF at room temp. gave the mixt. phenolic alc. (1S*,2S*) - and (1R*,2S*) -I (R = Me, X = 4-HO, YY1 = PhCH, Q = CH:CH). Also prepd. were 75 addnl. I and intermediates.

=> d bib 14 1-8

ANSWER 1 OF 16 CAPLUS COPYRIGHT 2003 ACS L4

2002:314393 CAPLUS ΑN

DN 136:325428

Preparation of 1-(hydroxyphenyl)-2-(phenylpiperidinyl)-1-propanol NMDA тT NR2B antagonists for treating depression and neurodegenerative disorders

Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David IN

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 17 pp. CODEN: EPXXDW

DT Patent

English T.Z

באאז י	-	1																
PAIN.	AN.CNT 1						m-			2.5	DT T	03 M T	_					
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ΡI	EP 1199068				A2 20020424					E	200	01-3	5	20010928				
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
	AU 2001077304 JP 2002161052			A5 20020411					AU 2001-77304 JP 2001-306254					20010928 20011002				
				A2 20020604														
	US	2002	0725	38	A:	1	2002	0613		US	200	01-9	6931	7	20013	1002		
PRAI	US	2000	-237	770P	P		2000	1002										
os	S MARPAT 136:32542			28														
						•												

- ANSWER 2 OF 16 CAPLUS COPYRIGHT 2003 ACS L4
- AN 2002:314392 CAPLUS
- DN 136:319415
- ΤI N-methyl-D-aspartate antagonists for prophylactic and treatment in a mammal of neurol. damage resulting from impairment of glucose and/or oxygen supply to the brain
- IN Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David; Schneider, Erika
- PA Pfizer Products Inc., USA

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SO
    Eur. Pat. Appl., 20 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                  KIND DATE
                                      APPLICATION NO. DATE
    -----
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                                      -----
                                      EP 2001-308289
    EP 1199067
                    A2
                         20020424
                                                      20010928
PT
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                    A2 20021108
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PRAI US 2000-237324P P 20001002
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   MARPAT 136:319415
L4
    ANSWER 3 OF 16 CAPLUS COPYRIGHT 2003 ACS
    2002:183752 CAPLUS
AN
DN
    136:241682
    Pharmaceutical combinations for the treatment of stroke and traumatic
    brain injury
    Chenard, Bertrand Leo; Saltarelli, Mario David; Menniti, Frank Samuel
IN
PA
    Pfizer Products Inc., USA
SO
    Eur. Pat. Appl., 25 pp.
    CODEN: EPXXDW
DT
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FAN.CNT 1
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    EP 1186304 A2 20020313 EP 2001-307521 20010904
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    US 2002123510 A1
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    MARPAT 136:241682
T.4
    ANSWER 4 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN
    2002:183751 CAPLUS
DN
    136:226803
ΤI
    Pharmaceutical combinations, for the treatment of stroke and traumatic
    brain injury, containing a neutrophil inhibiting factor and an selective
    NMDA-NR2B receptor antagonist
IN
    Chenard, Bertrand Leo; Menniti, Frank Samuel; Saltarelli, Mario David
PA
    Pfizer Products Inc., USA
SO
    Eur. Pat. Appl., 28 pp.
    CODEN: EPXXDW
DT
    Patent
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FAN.CNT 1
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    JP 2002322095
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L4
    ANSWER 5 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN
    2001:796279 CAPLUS
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DN
    135:331349
    Process for the preparation of the mesylate salt trihydrate of
ΤI
    1-(4-hydroxyphenyl)-2-(4-hydroxy-4-phenylpiperidin-1-yl)-1-propanol and
    its intermediates
    Rainville, Joseph Philip; Sinay, Terry Gene, Jr.; Walinsky, Stanley Walter
IN
PA
    Pfizer Products Inc., USA
SO
    Eur. Pat. Appl., 15 pp.
    CODEN: EPXXDW
DT
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LΑ
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FAN.CNT 1
    PATENT NO.
                   KIND DATE
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    EP 1149831
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        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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    CA 2345286
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PRAI US 2000-200417P P
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   CASREACT 135:331349; MARPAT 135:331349
RE.CNT 2
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    ANSWER 6 OF 16 CAPLUS COPYRIGHT 2003 ACS
L4
ΑN
    2001:508068 CAPLUS
DN
    135:87188
ΤI
    Method using a NR2B-selective NMDA antagonist for treating acute, chronic
    and/or neuropathic pain
IN
    Menniti, Frank S.; Chenard, Bertrand L.; Saltarelli, Mario D.; Parker,
    Jonathon M.
PΑ
    USA
SO
    U.S. Pat. Appl. Publ., 14 pp.
    CODEN: USXXCO
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    Patent
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LΑ
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    MARPAT 135:87188
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    ANSWER 7 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN
    1998:118605 CAPLUS
DN
    128:167356
    Preparation of phenylpiperidinylpropanols as neuroprotectants for
TI
    treatment of tinnitus.
IN
    Sands, Steven B.
PA
    Pfizer Inc., USA
SO
    U.S., 10 pp.
    CODEN: USXXAM
DT
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T.A
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FAN.CNT 1
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                   KIND DATE
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    US 5716961
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PRAI US 1996-709996
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OS MARPAT 128:167356
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